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                 STN pricing information for 2008 now available
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                 CAS patent coverage enhanced to include exemplified
                 prophetic substances
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         JAN 28
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
         JAN 28
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NEWS 6 JAN 28
                 USGENE now provides USPTO sequence data within 3 days
                 of publication
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     7
         JAN 28
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                 IMSPRODUCT reloaded with enhancements
NEWS 13 FEB 29
                 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                 U.S. National Patent Classification
NEWS 14 MAR 31
                 IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                 IPC display formats
NEWS 15
         MAR 31
                 CAS REGISTRY enhanced with additional experimental
NEWS 16
                 CA/CAplus and CASREACT patent number format for U.S.
         MAR 31
                 applications updated
NEWS 17
         MAR 31
                 LPCI now available as a replacement to LDPCI
NEWS 18 MAR 31
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
                 STN AnaVist, Version 1, to be discontinued
NEWS 19 APR 04
NEWS 20 APR 15
                 WPIDS, WPINDEX, and WPIX enhanced with new
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NEWS 21
         APR 28
                 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28
                 IMSRESEARCH reloaded with enhancements
NEWS 23 MAY 30
                 INPAFAMDB now available on STN for patent family
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NEWS 24
         MAY 30
                 DGENE, PCTGEN, and USGENE enhanced with new homology
                 sequence search option
NEWS 25
         JUN 06
                 EPFULL enhanced with 260,000 English abstracts
NEWS 26
                 KOREAPAT updated with 41,000 documents
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NEWS 27
                 USPATFULL and USPAT2 updated with 11-character
         JUN 13
                 patent numbers for U.S. applications
NEWS 28
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                 CAS REGISTRY includes selected substances from
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NEWS 29 JUN 25 CA/CAplus and USPAT databases updated with IPC reclassification data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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=> file reg COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:32:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS 17 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1114 TO 2206
PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 17:32:54 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1708 TO ITERATE

100.0% PROCESSED 1708 ITERATIONS 277 ANSWERS

SEARCH TIME: 00.00.01

L3 277 SEA SSS FUL L1

=> s 13 and brown, w?/au

NUMERIC VALUE NOT VALID 'BROWN, W?'

0 BROWN, W?/AU

L4 0 L3 AND BROWN, W?/AU

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 186.73 186.94

FILE 'HCAPLUS' ENTERED AT 17:33:17 ON 26 JUN 2008
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FILE COVERS 1907 - 26 Jun 2008 VOL 148 ISS 26 FILE LAST UPDATED: 25 Jun 2008 (20080625/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13L57 L3

=> s 15 and brown, w?/au 4240 BROWN, W?/AU 7 L5 AND BROWN, W?/AU

 $\Rightarrow$  d 17, ibib abs hitstr, 1-7

L7 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

 $\Rightarrow$  d 16, ibib abs hitstr, 1-7

ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:638846 HCAPLUS

DOCUMENT NUMBER: 143:153295

TITLE: Preparation of diarylmethylidenylpiperidines for the

management of pain

Brown, William; Griffin, Andrew; Walpole, INVENTOR(S):

Christopher

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: 

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WO 2005066128			A1 20050721				WO 2	005-		20050105						
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	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝΙ,
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PRIORITY APPLN. INFO.:
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                                            WO 2005-SE13
                                                                W
                                                                   20050105
OTHER SOURCE(S):
                     CASREACT 143:153295; MARPAT 143:153295
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AB
     Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3
     = H, COR4, SO2R4, etc.; R4 = H, alkyl, alkenyl, etc.] and their
     pharmaceutically acceptable salts were prepared For example, N-acetylation
     of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of
     diarylmethylidenylpiperidine II (R2 = COCH3) in 100% yield. In human
     \delta receptor assays, certain examples of compds. I exhibited IC50
     values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).
ΙT
     859911-40-3P, 4-[[4-(Acetylamino)phenyl](1-benzylpiperidin-4-
     ylidene)methyl]-N, N-diethylbenzamide 859911-41-4P
     859911-42-5P, 4-[[4-(Acetylamino)phenyl][1-(pyridin-2-
     ylmethyl)piperidin-4-ylidene]methyl]-N, N-diethylbenzamide
     859911-43-6P 859911-44-7P 859911-45-8P
     859911-46-9P 859911-47-0P 859911-48-1P,
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     859911-54-9P, 4-[[4-(Acetylamino)phenyl][1-(2-
     fluorobenzyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide
     859911-55-0P 859911-56-1P 859911-57-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diarylmethylidenylpiperidines for the management of pain)
```

Benzamide, 4-[[4-(acetylamino)phenyl][1-(phenylmethyl)-4-

piperidinylidene]methyl]-N, N-diethyl- (CA INDEX NAME)

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

RN

CN

859911-40-3 HCAPLUS

RN 859911-41-4 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-40-3 CMF C32 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-42-5 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-43-6 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-42-5 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-44-7 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-45-8 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-46-9 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(1,2,3-thiadiazol-5-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-47-0 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-48-1 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-49-2 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-48-1 CMF C29 H34 N4 O2 S

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-50-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 859911-51-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-50-5 CMF C31 H37 N3 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-52-7 HCAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-53-8 HCAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-52-7 CMF C32 H37 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-54-9 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-55-0 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-54-9 CMF C32 H36 F N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-56-1 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(3-fluorophenyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 859911-57-2 HCAPLUS

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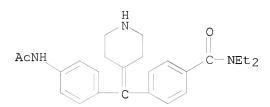
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidenylpiperidines for the management of pain)

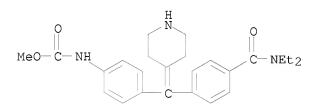
RN 859911-59-4 HCAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 859911-61-8 HCAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:638845 HCAPLUS

DOCUMENT NUMBER: 143:153294

TITLE: Preparation of diarylmethylidenylpiperidines for the

management of pain

INVENTOR(S): Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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AB Title compds. I [R1, R3 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of piperidine II (R2 = H) with 1-iodopropane afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = CH2CH2CH3) in 54% yield. In human \delta receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.18-3.7 nM, with an average of 0.56 nM (sic).
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(Uses)
(preparation of diarylmethylidenylpiperidines for the management of pain)
859911-03-8 HCAPLUS

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Updated Search

RN

RN 859911-04-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-03-8 CMF C28 H37 N3 O3

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-05-0 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

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CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-05-0 CMF C29 H37 N3 O3

CM 2

RN 859911-07-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-08-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-07-2 CMF C30 H41 N3 O3

CM 2

RN 859911-09-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-10-7 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-09-4 CMF C29 H39 N3 O3

CM 2

RN 859911-11-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2\text{-CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{C-NEt}_2 \\ \hline \\ \text{C-NEt}_2 \\ \hline \end{array}$$

RN 859911-12-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-11-8 CMF C29 H39 N3 O4

$$\begin{array}{c|c} \text{MeO-CH}_2-\text{CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{EtO-C-NH} \\ \hline \\ \text{C} \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-13-0 HCAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-

[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-14-1 HCAPLUS

CM 1

CRN 859911-13-0 CMF C30 H41 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-15-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI)

(CA INDEX NAME)

RN 859911-16-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-15-2 CMF C30 H41 N3 O4

$$\begin{array}{c|c} \text{i-PrO-CH}_2-\text{CH}_2\\ \\ \text{N} \\ \text{O}\\ \\ \text{MeO-C-NH}\\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-17-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-18-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-17-4 CMF C29 H39 N3 O4

$$\begin{array}{c|c} \text{EtO-CH}_2\text{-CH}_2 \\ \hline \\ N \\ \text{C} \\ \hline \\ N \\ \text{NH-C-OMe} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-19-6 HCAPLUS CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 859911-20-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 859911-21-0 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

RN 859911-22-1 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-21-0 CMF C30 H39 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-23-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

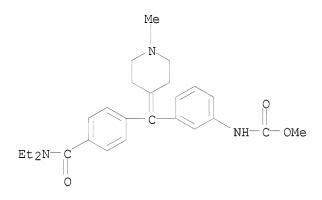
10533838

RN 859911-24-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-23-2 CMF C26 H33 N3 O3



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-25-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-26-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-25-4 CMF C27 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-27-6 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA

INDEX NAME)

RN 859911-28-7 HCAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-27-6 CMF C30 H39 N3 O3

CM 2

RN 859911-29-8 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-30-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-29-8 CMF C27 H35 N3 O3

CM 2

RN 859911-31-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 859911-32-3 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-31-2 CMF C28 H37 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 859911-33-4 HCAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-

[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N} & \text{N} \\ & & \\$$

RN 859911-34-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 859911-35-6 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 725229-85-6P 859911-38-9P

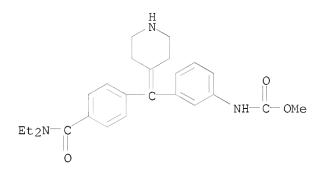
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 725229-85-6 HCAPLUS

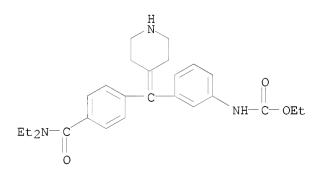
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-

piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-38-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1016017 HCAPLUS

DOCUMENT NUMBER: 142:6430

TITLE: Preparation of diarylmethylidene piperidine

derivatives as opioid  $\delta$  receptor ligands for

treating pain, anxiety and functional gastrointestinal

disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew; Jin,

Shujuan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

## 10533838

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE												
WO	2004	 1015	22							WO 2004-GB2074							
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,
			TD,														
AU	2004	2386	18		A1 20041125					AU 2	004-	2386	20040513				
														20040513			
EP	1641	1641757					2006	0405	EP 2004-732665						20040513		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
BR	2004	0103	47		A		2006	0530		BR 2	004-	1034	20040513				
CN	BR 2004010347 CN 1823040				A		2006	0823		CN 2	004-	8002	20040513				
JP	2007	5034	57		Τ		2007	0222		JP 2	006-	5305	20040513				
IN	2005	DN 0 4	901		A 20071221					IN 2	005-	DN49	20051026				
US 20070099957					A1		2007	0503		US 2	005-	5559	20051108				
MX 2005PA12117					A 20060208			MX 2005-PA12117 NO 2005-5998									
ИО	2005	0059	98		Α		2006	0213		NO 2	005-	5998			2	0051	216
RIT	APP	LN.	INFO	.:						SE 2					A 2	0030	516
										SE 2	004-	24			A 2	0040	109
										WO 2	004-	GB20	74	1	₩ 2	0040	513
D 00	OTTD OT	101			NAD D	ידיית	1 40	C 120									

OTHER SOURCE(S): MARPAT 142:6430

GI

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 = H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared

ΤT

E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = COPh; R7 = H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human  $\delta$  receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9 nM. The pharmaceutical composition comprising the compound I is disclosed. 798549-77-6P 798549-78-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798549-77-6 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-78-7 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

TT 798549-16-3P 798549-17-4P 798549-18-5P 798549-19-6P 798549-21-0P 798549-22-1P 798549-23-2P 798549-24-3P 798549-25-4P 798549-26-5P 798549-27-6P 798549-28-7P 798549-29-8P 798549-30-1P 798549-31-2P 798549-32-3P 798549-35-6P 798549-36-7P 798549-39-0P 798549-40-3P 798549-41-4P 798549-42-5P 798549-43-6P 798549-44-7P

RN

CN

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798549-45-8P 798549-46-9P 798549-47-0P
798549-48-1P 798549-49-2P 798549-50-5P
798549-51-6P 798549-52-7P 798549-53-8P
798549-54-9P 798549-59-4P 798549-60-7P
798549-67-4P 798549-68-5P 798549-69-6P
798549-70-9P 798549-71-0P 798549-72-1P
798549-73-2P 798549-74-3P 798549-79-8P
798549-80-1P 798549-81-2P 798549-82-3P
798549-85-6P 798549-86-7P 798549-87-8P
798549-88-9P 798549-89-0P 798549-90-3P
798549-91-4P 798549-92-5P 798549-97-0P
798549-98-1P 798549-99-2P 798550-00-2P
798550-01-3P 798550-02-4P 798550-03-5P
798550-04-6P 798550-05-7P 798550-06-8P
798550-07-9P 798550-08-0P 798550-09-1P
798550-10-4P 798550-11-5P 798550-12-6P
798550-13-7P 798550-14-8P 798550-15-9P
798550-16-0P 798550-17-1P 798550-31-9P
798550-32-0P 798550-33-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of diarylmethylidene piperidine derivs. as opioid \delta
   receptor ligands for treating pain, anxiety and functional
   gastrointestinal disorders)
798549-16-3 HCAPLUS
Benzamide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-
diethyl- (CA INDEX NAME)
```

CMF C30 H33 N3 O2

RN 798549-17-4 HCAPLUS
CN Benzamide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

CM 1

CRN 798549-16-3

10533838

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-18-5 HCAPLUS

CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 798549-19-6 HCAPLUS

CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 798549-18-5 CMF C31 H35 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 798549-21-0 HCAPLUS

Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N, N-diethyl- (CA INDEX NAME)

RN 798549-22-1 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CM 1

CRN 798549-21-0 CMF C30 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-23-2 HCAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 798549-24-3 HCAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 798549-23-2 CMF C32 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-25-4 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-26-5 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CM 1

CRN 798549-25-4 CMF C31 H41 N3 O2

CM 2

RN 798549-27-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$Et_2N-C$$

RN 798549-28-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

CM 1

CRN 798549-27-6 CMF C31 H37 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-29-8 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-30-1 HCAPLUS

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:7) (CA
INDEX NAME)

CRN 798549-29-8 CMF C30 H41 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 798549-31-2 HCAPLUS

Benzamide, N, N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-32-3 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CRN 798549-31-2 CMF C30 H35 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-35-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-36-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:19) (CA INDEX NAME)

CRN 798549-35-6 CMF C30 H34 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-39-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-40-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 798549-39-0 CMF C30 H35 N3 O

$$\begin{array}{c|c} O & Ph \\ \parallel & \parallel \\ Et_2N-C & Me-N \\ \hline \\ & N \\ H \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-41-4 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-42-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 798549-41-4 CMF C29 H33 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-43-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-44-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CRN 798549-43-6 CMF C30 H35 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-45-8 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[2-[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 798549-46-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[2-[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]-, 2,2,2-trifluoroacetate

(1:1) (CA INDEX NAME)

CM 1

CRN 798549-45-8 CMF C25 H30 F3 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-47-0 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclopentylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-48-1 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclopentylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:11) (CA
INDEX NAME)

CM 1

CRN 798549-47-0 CMF C30 H39 N3 O2

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-49-2 HCAPLUS

CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-50-5 HCAPLUS
CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA
INDEX NAME)

CM 1

CRN 798549-49-2 CMF C29 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-51-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-52-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 798549-51-6 CMF C32 H39 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-53-8 HCAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

CMF

RN 798549-54-9 HCAPLUS
CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4 piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:13) (CA
 INDEX NAME)
CM 1
CRN 798549-53-8

C31 H43 N3 O

CM 2
CRN 76-05-1
CMF C2 H F3 O2

RN 798549-59-4 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-60-7 HCAPLUS

Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

CM 1

CN

CRN 798549-59-4 CMF C31 H36 N4 O2

CM 2

RN 798549-67-4 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-68-5 HCAPLUS

CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

CM 1

CRN 798549-67-4 CMF C30 H41 N3 O

CM 2

RN 798549-69-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 798549-70-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 798549-69-6 CMF C30 H35 N3 O3 S

CM 2

RN 798549-71-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 798549-72-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

CM 1

CRN 798549-71-0

CMF C29 H32 F N3 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-73-2 HCAPLUS

CN Benzamide, 4-[[2-[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & N \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 798549-74-3 HCAPLUS

CN Benzamide, 4-[[2-[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 798549-73-2 CMF C27 H37 N3 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-79-8 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-80-1 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:17) (CA INDEX NAME)

CM 1

CRN 798549-79-8 CMF C32 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$F - \begin{matrix} F \\ | \\ C - CO_2H \\ | \\ F \end{matrix}$$

CN

RN 798549-81-2 HCAPLUS

Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798549-82-3 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-81-2 CMF C32 H37 N3 O3

$$\begin{array}{c|c} \mathsf{C} & \mathsf{O} & \mathsf{O} \\ || & \\ \mathsf{MeO-C-NH} \\ \\ \mathsf{C} & \\ \mathsf{C} & \\ \mathsf{Ph-CH}_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-85-6 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-86-7 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CRN 798549-85-6 CMF C29 H34 N4 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-87-8 HCAPLUS
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798549-88-9 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-87-8 CMF C29 H34 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-89-0 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-90-3 HCAPLUS

Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:19) (CA INDEX NAME)

CM 1

CN

CRN 798549-89-0 CMF C29 H34 N4 O2 S

CM 2

RN 798549-92-5 HCAPLUS
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-91-4 CMF C29 H34 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798549-97-0 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-98-1 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798549-99-2 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (2:7) (CA INDEX NAME)

CM 1

CRN 798549-98-1 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$${\tiny \begin{array}{c} F\\ |\\ F-C-CO_2H\\ |\\ F\end{array}}$$

RN 798550-00-2 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl](1-butyl-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-01-3 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl](1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 798550-00-2 CMF C29 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-02-4 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-

piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-03-5 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 798550-02-4 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-04-6 HCAPLUS

CN Carbamic acid, [2-[(1-butyl-4-piperidinylidene)[4[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} \mathsf{C} & \mathsf{C} & \mathsf{C} \\ \mathsf{Et}_2\mathsf{N} - \mathsf{C} & \mathsf{MeO} - \mathsf{C} - \mathsf{NH} \\ \mathsf{C} & \mathsf{C} \\ \mathsf{N} & \mathsf{C} \\ \mathsf{N} & \mathsf{C} \\ \mathsf{N} \\ \mathsf{N}$$

RN 798550-05-7 HCAPLUS

CN Carbamic acid, [2-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-04-6 CMF C29 H39 N3 O3

CM 2

RN 798550-06-8 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-07-9 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-08-0 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(3-

 $\label{lem:pyridinylmethyl} $$ pyridinylmethyl]-4-piperidinylidene]$ methyl]phenyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)$ 

CM 1

CRN 798550-07-9 CMF C31 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-09-1 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 798550-10-4 HCAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinylidene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 798550-11-5 HCAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinylidene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 798550-10-4 CMF C29 H41 N3 O 10533838

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$${\scriptstyle F-C-CO_2H\atop \mid\atop F}}$$

RN 798550-12-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-13-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (10:23) (CA INDEX NAME)

CM 1

CRN 798550-12-6 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-14-8 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-15-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (10:23) (CA INDEX NAME)

CM 1

CRN 798550-14-8 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-16-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 798550-17-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 798550-16-0 CMF C31 H38 N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-31-9 HCAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 798549-97-0 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-32-0 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-06-8 CMF C31 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 798550-33-1 HCAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester,

trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-09-1 CMF C31 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:610034 HCAPLUS

DOCUMENT NUMBER: 141:140326

TITLE: Preparation of diarylmethylidene piperidines as

 $\delta$ -opioid receptor ligands for the treatment of

pain.

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole,

Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

									APPLICATION NO.											
WO	2004062562				A2		2004	WO 2004-GB99												
WO	2004062562				A3		2004													
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BE	3,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	Ζ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	3,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MO	3,	MK,	MN,	MW,	MX,	ΜZ				
AU	2004	12043	90		A1 20040729					AU 2004-204390							20040113			
AU	2004	12043	90		В2		2007	0906												
CA	2510382				<b>A</b> 1	0729														
<b>E</b> P					A2 200510				EP 2004-701624							2	0040	113		
	R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	. ,	TR,	BG,	CZ,	EE,	HU,	SK			
BR	2004	10066	14		A		2005	1206		BR	20	04 -	6614			2	0040	113		
CN	1738	1738801			A 20060222			0222	CN 2004-80002275 JP 2006-500202 NZ 2004-540758 RU 2005-121491							2	0040	113		
JP	2006	5165	59		T 200			0706		JΡ	20	06-		20040113						
NZ	5407	758			A 20080			0328	NZ 2004-540758							20040113				
RU	2324	1680			C2		2008	RU 2005-121491							20040113					
IN	2005	DN02	714		A		2007	0112		IN	20	05-	DN27		2	0050	620			
ZA	2005	50051	86		A		2006	0426								2	0050	627		
								0713									0050	707		
								0921					PA74				0050	711		
NO	2005	50038	09		A		2005	1017		ΝО	20	05-	3809			2	0050	812		
PRIORIT										SE	20	03-	105			A 2	0030	116		
																	0040			
OTHER S	OURCE	E(S):			MARI	PAT	141:	14032												
GI																				

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with acetyl chloride afforded piperidine II [R6 = COMe] as the trifluoroacetic acid salt in 52% yield. In human  $\delta$ -opioid receptor binding assays, 7-examples of compds. I exhibited IC50 values ranging from 0.19-1.49 nM. Compds. I are claimed useful in the management of pain.
- TT 725242-56-8P, 4-[[3-(Acetylamino)phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 725242-57-9P, 4-[[3-(Acetylamino)phenyl][1-(2-furylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 725242-58-0P, 4-[[3-(Acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethylbenzamide 725242-59-1P, 4-[[3-(Acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethylbenzamide 725242-60-4P, 4-[[3-(Acetylamino)phenyl][1-

RN

(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N, N-diethylbenzamide 725242-61-5P, 4-[[3-(Acetylamino)phenyl][1-(4-pyridinylmethyl)-4piperidinylidene]methyl]-N, N-diethylbenzamide 725242-62-6P, 4-[[3-(Acetylamino)phenyl][1-(pyridin-2-ylmethyl)piperidin-4ylidene]methyl]-N, N-diethylbenzamide 725242-63-7P, 4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-4-ylmethyl)piperidin-4vlidene]methyl]-N, N-diethylbenzamide 725242-64-8P, 4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-5-ylmethyl)piperidin-4ylidene]methyl]-N, N-diethylbenzamide 725242-67-1P 725242-70-6P 725242-71-7P 725242-75-1P 725242-80-8P 725242-83-1P 725242-87-5P 725242-88-6P 725242-90-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)

725242-56-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4piperidinylidene]methyl]-N, N-diethyl- (CA INDEX NAME)

725242-57-9 HCAPLUS RN

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-58-0 HCAPLUS CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-59-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-60-4 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-61-5 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-62-6 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

AcNH

RN 725242-63-7 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-64-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 725242-67-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-56-8 CMF C30 H35 N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-70-6 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-57-9 CMF C30 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-71-7 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-58-0 CMF C32 H37 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-75-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 725242-80-8 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-60-4 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-83-1 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-61-5 CMF C31 H36 N4 O2 10533838

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-87-5 HCAPLUS
CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-62-6 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-88-6 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-63-7 CMF C29 H34 N4 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 725242-90-0 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 725242-64-8

CMF C29 H34 N4 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

TT 725242-85-3P, 4-[[3-(Acetylamino)phenyl](piperidin-4 ylidene)methyl]-N,N-diethylbenzamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of diarylmethylidene piperidines as δ-opioid receptor
 ligands for the treatment of pain.)
RN 725242-85-3 HCAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

L6 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:606467 HCAPLUS

DOCUMENT NUMBER: 141:157038

TITLE: Preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide

derivatives as delta opioid receptor ligands

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole,

Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.							DATE		APP	LICAT	DATE						
	WO	2004063193					_	2004	0729		WO	2004-		20040113				
		$\mathbb{W}$ :	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BE	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	G, MK,	MN,	MW,	MX,	MZ		
	ΕP	1590346					A1 20051102					2004-		20040113				
	ΕP	1590346				В1	2006	1025										
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			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL	, TR,	BG,	CZ,	EE,	HU,	SK	
	JΡ	2006	5153	52		Τ	2006	0525		JP	2006-	5001		20040113				
	ΑT	3435	71			T		2006	1115		AT	2004-	7016		2	0040	113	
	ΕS	2274	415			Т3		2007	0516		ES	2004-	7016	28		2	0040	113
	US	2006	0148	850		A1		2006	0706		US	2005-	5416	64		2	0050	707
PRIOR	RIT:	Y APP	LN.	INFO	.:						SE	2003-	104			A 2	0030	116
											WO	2004-	GB61		1	W 2	0040	113

OTHER SOURCE(S): MARPAT 141:157038

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene]piperid ine-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH2Cl2, i-BuO2CCl, HNEt2), deprotected (CH2Cl2, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)3), coupled to m-aminobenzeneboronic acid (PhMe/EtOH/H2O, Pd(PPh3)4, Na2CO3) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC50 in the range of 0.18 0.56 nM for the δ-opioid receptor. I are useful in the management of pain.
- TT 728917-19-9P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]benzamide 728917-20-2P 728917-21-3P 728917-22-4P, N,N-Diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-

[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-23-5P, N, N-Diethyl-4-[[1-(phenylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-24-6P 728917-25-7P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]benzamide 728917-26-8P 728917-30-4P 728917-31-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4ylidenemethyl]benazmide derivs. as delta opioid receptor ligands) 728917-19-9 HCAPLUS RN Benzamide, N,N-diethy1-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-CN thienylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-20-2 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 728917-19-9 CMF C29 H35 N3 O3 S2

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-21-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]-, hydrochloride (5:6) (CA INDEX NAME)

## ●6/5 HCl

RN 728917-22-4 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)

$$CH_2$$
 $NH-S-Me$ 
 $C-NEt_2$ 
 $O$ 
 $O$ 
 $O$ 
 $O$ 

RN 728917-23-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-24-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

CM 1

CRN 728917-23-5 CMF C31 H37 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-25-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-26-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

CM 1

CRN 728917-25-7 CMF C30 H36 N4 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 728917-30-4 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

RN 728917-31-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

CM 1

CRN 728917-30-4

CMF C28 H34 N4 O3 S2

CM 2

CRN 76-05-1

CMF C2 H F3 O2

L6 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:606441 HCAPLUS

DOCUMENT NUMBER: 141:140324

TITLE: Preparation of diarylmethylidene piperidines as

 $\delta\text{-opioid}$  receptor ligands for the treatment of

pain.

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole,

Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE					LICA		DATE							
WO	2004063157									2004	-GE		20040113							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	, BG	, E	BR,	BW,	BY,	BZ	, CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC	, E	ΞE,	EG,	ES,	FΙ	, GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP	, F	KΕ,	KG,	KP,	KR	, KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK	, N	ΛN,	MW,	MX,	MZ				
						A1 20040729 AU 2004-203969									20040113					
AU	2004	2039	69		В2		2007	0906												
CA	2510	400			A1		2004	0729		CA	2004	-25	5104	400			20040	113		
EP	1587	7791			A1		2005	1026		ΕP	2004	-70	0163	34			20040	113		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, II	', I	ĹΙ,	LU,	NL,	SE	, MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR	, E	ЗG,	CZ,	EE,	HU	, SK			
BR	2004	10065	94		A		2005	1220		BR	2004	-65	594				20040	113		
CN	1735	596			A		2006	0215		CN	2004	-80	0002	2123			20040	113		
JP	2006	596 5153	56		Т		2006	0525		JΡ	2006	-50	0020	8 0			20040	113		
NZ	5407	759			А		2008	0328		NZ	2004	-54	4075	59			20040	113		
		865															20040			
		DN02												16			20050			
		0051															20050			
		0116															20050			
		0038			А		2005	1017									20050	-		
ORIT	Y APF	PLN.	INFO	.:													20030			
												-GE	3116	6		W .	20040	113		
IED CA	JIID CE	1/01.			N/IZV DI	ידי עכ	1/11.	1/02	2.4											

OTHER SOURCE(S): MARPAT 141:140324

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$$R^2$$
 $R^3$ 
 $R^3$ 
 $R^3$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^6$ 
 $R^6$ 

H2C-Ph

Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded piperidine II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human  $\delta$ -opioid receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of piperidine II [R6 = COOMe] was 0.48 nM. Compds. I are claimed useful in the management of pain.

Ι

ΙI

IT 725229-70-9P 725229-71-0P 725229-72-1P 725229-73-2P 725229-74-3P 725229-75-4P 725229-76-5P 725229-78-7P 725229-79-8P 725229-80-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta\text{--opioid}$  receptor ligands for the treatment of pain.)

RN 725229-70-9 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-thienylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-71-0 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-furanylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-72-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-73-2 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-74-3 HCAPLUS
CN Carbamic acid, [3-[[4-[(diethylamino)ca

Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 725229-75-4 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-thienylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-70-9 CMF C30 H35 N3 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 725229-76-5 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(2-furanylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 725229-78-7 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 725229-72-1 CMF C32 H37 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 725229-79-8 HCAPLUS

Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-73-2 CMF C29 H34 N4 O3 S

CRN 76-05-1 CMF C2 H F3 O2

RN 725229-80-1 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]][1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-74-3 CMF C29 H34 N4 O3 S

CRN 76-05-1 CMF C2 H F3 O2

IT 725229-85-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidene piperidines as  $\delta\text{-opioid}$  receptor ligands for the treatment of pain.)

RN 725229-85-6 HCAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H \\ N \\ \hline \\ C \\ \hline \\ NH-C-OMe \\ \hline \\ O \\ \end{array}$$

L6 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:412920 HCAPLUS

DOCUMENT NUMBER: 140:423590

TITLE: Preparation of 4-(phenylpiperidin-4-

ylidenemethyl) benzamides for treatment of pain,

anxiety, or gastrointestinal disorders

INVENTOR(S): Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.						KIND DATE				ICAT	ION :		DATE				
WO	20040	0417	84		A1 20040521				,	WO 2	003-	SE17	05	20031105				
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	ΝZ,	
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
AU	AU 2003274885				A1		2004	0607		AU 2	003-	2748	85					
	1567496									EP 2	003-	7591	65		2	0031	105	
EP	1567	496			В1		2007	0411										
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							RO,											
JP	20065	5146	17		$\mathbf{T}$		2006	0511		JP 2	004-	5497	74	20031105				
AT	AT 359270						2007	0515		AT 2	003-	7591	65	20031105				
	ES 2285179															0031	105	
US	US 20060014789						2006	0119								0050		
RIORIT	ORITY APPLN. INFO.:								SE 2002-3301						A 20021107			
									,	WO 2	003-	SE17	05	1	₩ 2	0031	105	
THER SO	HER SOURCE(S):				MARI	PAT	140:	4235	90									

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or (un)substituted alkyl; R3 = H or (un)substituted alkoxycarbonyl, alkyl, or cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared as opioid  $\delta$  receptor ligands. For example, reaction of 4-(bromomethyl)benzoic acid Me ester with P(OMe)3, followed by addition of 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave

IT

RN

CN

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4-(4-methoxycarbonylbenzylidene)piperidine-1-carboxylic acid tert-Bu ester
(35%). Addition of Br2 (78%) and reaction with NaOH in MeOH provided
4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu
ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate
and amidation (73%) with Et2NH in the presence of TEA in CH2Cl2, followed
by coupling with 3-aminophenylboronic acid using Pd(PPh3)4 and Na2CO3 in
toluene/EtOH/H2O afforded N, N-diethyl-4-[(3-aminophenyl)(piperidin-4-
ylidene) methyl] benzamide (97%). Alkylation of the amine with benzaldehyde
and NaBH(OAc)3 in 1,2-dichloroethane gave II. In binding assays using
human 293S cells expressing cloned human opioid receptors and neomycin
resistance, most compds. of the invention exhibited activity toward the
\delta receptor with IC50 values in the range of 0.14 nM - 31.2 nM.
Exemplified compds. also showed some activity toward the \kappa and \mu
receptors with IC50 values in the ranges of 36 nM - 9680 nM and 3 nM -
5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in
therapy, in particular for the treatment of gastrointestinal disorders,
anxiety, or pain (no data).
692245-00-4P 692245-02-6P 692245-04-8P
692245-06-0P 692245-09-3P 692245-13-9P
692245-17-3P 692245-21-9P 692245-25-3P
692245-29-7P 692245-33-3P 692245-37-7P
692245-53-7P 692245-57-1P 692245-61-7P
692245-65-1P 692245-69-5P 692245-73-1P
692245-77-5P 692245-81-1P 692245-86-6P
692245-90-2P 692245-94-6P 692245-98-0P
692246-02-9P 692246-06-3P 692246-10-9P
692246-14-3P 692246-18-7P 692246-22-3P
692246-26-7P 692246-34-7P 692246-38-1P
692246-46-1P 692246-50-7P 692246-54-1P
692246-58-5P 692246-62-1P 692246-66-5P
692246-78-9P 692246-82-5P 692246-87-0P
692246-91-6P 692246-95-0P 692246-99-4P
692247-03-3P 692247-07-7P 692247-11-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
   (& receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz
   amides as \delta receptor agonists for treatment of pain, anxiety, or
   gastrointestinal disorders)
692245-00-4 HCAPLUS
Benzamide, N,N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-
piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:27) (CA INDEX NAME)
CM
     1
```

CRN 692244-99-8 CMF C30 H35 N3 O 10533838

$$\begin{array}{c|c} & H & O \\ & \parallel & \\ C - NEt_2 \\ \\ Ph-CH_2-NH \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-02-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:21) (CA INDEX NAME)

CM 1

CRN 692245-01-5 CMF C28 H33 N3 O2

CM 2

10533838

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-04-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(3-thienylmethyl)amino]phenyl]methyl]-, 2,2,2-trifluoroacetate (5:12) (CA INDEX NAME)

CM 1

CRN 692245-03-7 CMF C28 H33 N3 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-06-0 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

CM 1

CRN 692245-05-9 CMF C31 H37 N3 O

$$\begin{array}{c|c} \mathbf{C} & \mathbf{H} & \mathbf{H} \\ \mathbf{Et_2N-C} & \mathbf{NH-CH_2-CH_2-Ph} \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-09-3 HCAPLUS

CN Benzamide, 4-[[3-[[(4-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:23) (CA INDEX NAME)

CM 1

CRN 692245-07-1 CMF C30 H34 C1 N3 O

$$\begin{array}{c|c} & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-13-9 HCAPLUS CN Benzamide, N,N-diethyl

Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]-, 2,2,2-trifluoroacetate (5:11) (CA INDEX NAME)

CM 1

CRN 692245-11-7 CMF C31 H34 F3 N3 O

$$\begin{array}{c|c} C & H \\ N & N \\ \hline \\ C & NH-CH_2 \\ \hline \\ CF_3 \\ \hline \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-17-3 HCAPLUS

CN Benzamide, 4-[[3-[[(2-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CM 1

CRN 692245-15-1 CMF C30 H34 C1 N3 O

$$\begin{array}{c|c} & & & \\ &$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-21-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]-, 2,2,2-trifluoroacetate (10:21) (CA INDEX NAME)

CM 1

CRN 692245-19-5 CMF C31 H34 F3 N3 O

CM 2

RN 692245-25-3 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(2-furanylmethyl)amino]phenyl]-4piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:23) (CA INDEX NAME)

CM 1

CRN 692245-23-1
CMF C28 H33 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-29-7 HCAPLUS
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl]methyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 692245-27-5 CMF C28 H33 N3 O S

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-33-3 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:23) (CA INDEX NAME)

CM 1

CRN 692245-31-1 CMF C30 H41 N3 O

$$\begin{array}{c|c} C & H \\ N \\ \hline \\ C & NH-CH_2 \\ \hline \end{array}$$

CM 2

RN 692245-37-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl]methyl]-, 2,2,2-trifluoroacetate (10:29) (CA INDEX NAME)

CM 1

CRN 692245-35-5 CMF C26 H35 N3 O

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-53-7 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:14) (CA INDEX NAME)

CM 1

CRN 692245-51-5 CMF C29 H39 N3 O

CRN 76-05-1 CMF C2 H F3 O2

$${\tiny \begin{array}{c}F\\F-C-CO_2H\\\\\\F\end{array}}$$

CN

RN 692245-57-1 HCAPLUS

Benzamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 692245-55-9 CMF C30 H33 N3 O2

CM 2

RN 692245-61-7 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 692245-59-3 CMF C31 H35 N3 O2

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ \text{Ph-CH}_2-\text{C-NH} \\ & & \\ & & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-65-1 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylcarbonyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:8) (CA
INDEX NAME)

CM 1

CRN 692245-63-9 CMF C30 H39 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-69-5 HCAPLUS

CN Benzamide, 4-[[3-[(2-cyclohexylacetyl)amino]phenyl]-4piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (2:3) (CA
INDEX NAME)

CM 1

CRN 692245-67-3 CMF C31 H41 N3 O2

$$\begin{array}{c|c} C & H \\ N \\ C & NH \\ \end{array}$$

CM 2

RN 692245-73-1 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 692245-71-9 CMF C31 H34 C1 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-77-5 HCAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CM 1

CRN 692245-75-3 CMF C31 H34 C1 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH \\ \end{array}$$

10533838

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-81-1 HCAPLUS

CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-5-methyl-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

CM 1

CRN 692245-79-7 CMF C30 H35 N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-86-6 HCAPLUS

CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CRN 692245-84-4

CMF C29 H32 C1 N3 O2 S

$$\begin{array}{c|c} & & & & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{array}{c} F \\ | \\ C - CO_2H \\ | \\ F \end{array}$$

RN 692245-90-2 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ S)-, 2,2-trifluoroacetate (5:8) (CA INDEX NAME)

CM 1

CRN 692245-88-8

CMF C32 H37 N3 O2

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-94-6 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ R)-, 2,2,2-trifluoroacetate (10:17) (CA INDEX NAME)

CM 1

CRN 692245-92-4 CMF C32 H37 N3 O2

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 692245-98-0 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ S)-, 2,2-trifluoroacetate (5:18) (CA INDEX NAME)

CM 1

CRN 692245-96-8 CMF C33 H39 N3 O2

Absolute stereochemistry.

CM 2

RN 692246-02-9 HCAPLUS
CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4 piperidinylidenemethyl]phenyl]-α-ethyl-, (αR)-,
 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 692246-00-7
CMF C33 H39 N3 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-06-3 HCAPLUS

CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:13) (CA INDEX NAME)

CM 1

CRN 692246-04-1 CMF C31 H35 N3 O2

$$\begin{array}{c|c} H \\ N \\ \hline \\ C \\ \hline \\ N-C-Ph \\ \hline \\ Me O \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

$${\tiny \begin{array}{c}F\\F-C-CO_2H\\|\\F\end{array}}$$

CN

RN 692246-10-9 HCAPLUS

Benzamide, N, N-diethyl-4-[[3-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 692246-08-5 CMF C30 H34 N4 O2

CM 2

RN 692246-14-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 692246-12-1 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-18-7 HCAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 692246-16-5 CMF C29 H38 N4 O2

$$\begin{array}{c|c} C & H \\ N \\ C & NH \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-22-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (1:4) (CA INDEX NAME)

CM 1

CRN 692246-20-1 CMF C29 H33 N3 O3 S

$$\begin{array}{c|c} C & H \\ N \\ C & \\ NH-S-Ph \\ O \\ \end{array}$$

CM 2

RN 692246-26-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:14) (CA INDEX NAME)

CM 1

CRN 692246-24-5 CMF C30 H35 N3 O3 S

$$\begin{array}{c|c} C & H \\ N & \\ Et_2N-C \\ \hline \\ C & \\ NH-S-CH_2-Ph \\ \hline \\ O & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-34-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

CM 1

CRN 692246-32-5 CMF C30 H35 N3 O

$$\begin{array}{c} H \\ N \\ C \\ N-Me \\ O \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-38-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

CM 1

CRN 692246-36-9 CMF C31 H37 N3 O

$$\begin{array}{c} H \\ N \\ C \\ O \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-46-1 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1S)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:11) (CA INDEX NAME)

CM 1

CRN 692246-44-9 CMF C31 H37 N3 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-50-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:37) (CA INDEX NAME)

CM 1

CRN 692246-48-3 CMF C31 H37 N3 O

Absolute stereochemistry.

$$\begin{array}{c|c} H \\ N \\ \hline \\ Et_2N \\ O \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-54-1 HCAPLUS

CN Benzamide, 4-[[3-[[(1R)-1-cyclohexylethyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:11) (CA INDEX NAME)

CM 1

CRN 692246-52-9 CMF C31 H43 N3 O

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-58-5 HCAPLUS

CN Benzamide, 4-[[3-[[(1S)-1-cyclohexylethyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (10:19) (CA INDEX NAME)

CM 1

CRN 692246-56-3 CMF C31 H43 N3 O

Absolute stereochemistry.

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$
 Et  $_2N$ 

CM 2

RN 692246-62-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:29) (CA INDEX NAME)

CM 1

CRN 692246-60-9 CMF C32 H39 N3 O

$$\begin{array}{c|c} & & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{array}{c|c} F \\ | \\ C - CO_2H \\ | \\ F \end{array}$$

RN 692246-66-5 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, 2,2,2-trifluoroacetate (5:18) (CA INDEX NAME)

CM 1

CRN 692246-64-3 CMF C30 H41 N3 O

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & N \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-78-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 692246-76-7 CMF C29 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-82-5 HCAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692246-80-3 CMF C31 H34 N6 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-87-0 HCAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692246-85-8 CMF C29 H31 Cl N4 O2

CM 2

RN 692246-91-6 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-methoxybenzoyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692246-89-2 CMF C31 H35 N3 O3

$$\begin{array}{c|c} & & H \\ & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692246-95-0 HCAPLUS

CN 2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692246-93-8 CMF C32 H33 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

$${\scriptstyle F-C-CO_2H\atop \mid\atop F}$$

RN 692246-99-4 HCAPLUS

CN Benzamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-difluoro-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692246-97-2 CMF C30 H31 F2 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ C & NH \\ \end{array}$$

CM 2

RN 692247-03-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692247-01-1 CMF C28 H30 C1 N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 692247-07-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-methylbenzoyl)amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

CM 1

CRN 692247-05-5 CMF C31 H35 N3 O2

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & NH \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 692247-11-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, 2,2,2-trifluoroacetate (5:9) (CA INDEX NAME)

CM 1

CRN 692247-09-9 CMF C31 H36 N4 O2

$$\begin{array}{c|c} Ph & O \\ & | & | \\ Me-N-C-NH \\ & C \\ \end{array}$$

CM 2

```
ΤТ
    692244-99-8P, 4-[[3-(Benzylamino)phenyl](piperidin-4-
    ylidene) methyl] -N, N-diethylbenzamide 692245-01-5P,
    N, N-Diethyl-4-[[3-[(3-furylmethyl)amino]phenyl](piperidin-4-
    ylidene)methyl]benzamide 692245-03-7P, N,N-Diethyl-4-[(piperidin-
    4-ylidene)[3-[[(thien-3-yl)methyl]amino]phenyl]methyl]benzamide
    692245-05-9P, N,N-Diethyl-4-[[3-[(2-phenylethyl)amino]phenyl](pipe
    ridin-4-ylidene) methyllbenzamide 692245-07-1P,
    4-[[3-[(4-Chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N, N-
    diethylbenzamide 692245-11-7P, N,N-Diethyl-4-[(piperidin-4-
    ylidene) [3-[[3-(trifluoromethyl)benzyl]amino]phenyl]methyl]benzamide
    692245-15-1P, 4-[[3-[(2-Chlorobenzyl)amino]phenyl](piperidin-4-
    ylidene) methyl] -N, N-diethylbenzamide 692245-19-5P,
    N, N-Diethyl-4-[(piperidin-4-ylidene)[3-[[4-(trifluoromethyl)benzyl]amino]p
    henyl]methyl]benzamide 692245-23-1P, N,N-Diethyl-4-[[3-[(2-
    furylmethyl) amino]phenyl] (piperidin-4-ylidene) methyl] benzamide
    692245-27-5P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[(thien-2-
    yl)methyl]amino]phenyl]methyl]benzamide 692245-31-1P,
    4-[[3-[(Cyclohexylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-35-5P, N,N-Diethyl-4-[(piperidin-4-
    ylidene) [3-(propylamino)phenyl]methyl]benzamide 692245-51-5P,
    4-[[3-[Cyclopentyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-55-9P, 4-[[3-
     (Benzoylamino) phenyl] (piperidin-4-ylidene) methyl]-N, N-diethylbenzamide
    692245-59-3P, N,N-Diethyl-4-[[3-[(phenylacetyl)amino]phenyl](piper
    idin-4-ylidene) methyl] benzamide 692245-63-9P,
    4-[[3-[(Cyclohexylcarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-67-3P, 4-[[3-
    [(Cyclohexylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-71-9P, 4-[[3-[[(2-
    Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-75-3P, 4-[[3-[[(3-
    Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692245-79-7P, N,N-Diethyl-4-[[3-[[(5-
    methylthien-2-yl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]benzamide
    692245-84-4P, 4-[[3-[[(5-Chlorothien-2-
    yl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N, N-diethylbenzamide
    692245-88-8P, (S)-N, N-Diethyl-4-[[3-[(2-
    phenylpropanoyl)amino[phenyl](piperidin-4-ylidene)methyl]benzamide
    692245-92-4P, (R)-N,N-Diethyl-4-[[3-[(2-
    phenylpropanoyl)amino[phenyl](piperidin-4-ylidene)methyl]benzamide
    692245-96-8P, (S)-N, N-Diethyl-4-[[3-[(2-
    phenylbutanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
    692246-00-7P, (R)-N, N-Diethyl-4-[[3-[(2-
    phenylbutanoyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
    692246-04-1P, 4-[[3-[Benzoyl(methyl)amino]phenyl](piperidin-4-
    ylidene) methyl]-N, N-diethylbenzamide 692246-08-5P,
    4-[[3-[(Anilinocarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N, N-
    diethylbenzamide 692246-12-1P, 4-[[3-
    [[(Benzylamino)carbonyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-
    diethylbenzamide 692246-16-5P, N-[3-[[4-
    [(Diethylamino)carbonyl]phenyl](piperidin-4-ylidene)methyl]phenyl]piperidi
    ne-1-carboxamide 692246-20-1P, N,N-Diethyl-4-[[3-
     [(phenylsulfonyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
    692246-24-5P, 4-[[3-[(Benzylsulfonyl)amino]phenyl](piperidin-4-
    ylidene) methyl] -N, N-diethylbenzamide 692246-32-5P,
    N, N-Diethyl-4-[[3-[methyl(phenyl)amino]phenyl](piperidin-4-
```

```
ylidene)methyl]benzamide 692246-36-9P, N,N-Diethyl-4-[[3-
[ethyl(phenyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-44-9P, (S)-N,N-Diethyl-4-[[3-[(1-
phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-48-3P, (R)-N, N-Diethyl-4-[[3-[(1-
phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-52-9P, (R)-4-[[3-[(1-Cyclohexylethyl)amino]phenyl](piperidi
n-4-ylidene) methyl]-N, N-diethylbenzamide 692246-56-3P,
(S)-4-[[3-[(1-Cyclohexylethyl)amino]phenyl](piperidin-4-ylidene)methyl]-
1-phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide
692246-64-3P, 4-[[3-[Cyclohexyl(methyl)amino]phenyl](piperidin-4-
ylidene)methyl]-N, N-diethylbenzamide 692246-76-7P,
N, N-Diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-
piperidinylidenemethyl]benzamide 692246-80-3P
692246-85-8P, 6-Chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-
piperidinylidenemethyl]phenyl]-3-pyridinecarboxamide 692246-89-2P
, N-[3-[[4-[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl
]-2-methoxybenzamide 692246-93-8P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2-
quinoxalinecarboxamide 692246-97-2P,
N-[3-[[4-[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-
2,5-difluorobenzamide 692247-01-1P, 3-Chloro-N-[3-[[4-
[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2-
thiophenecarboxamide 692247-05-5P, N-[3-[[4-
[(Diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-3-
methylbenzamide 692247-09-9P, N,N-Diethyl-4-[[3-
[[[methyl(phenyl)amino]carbonyl]amino]phenyl]-4-
piperidinylidenemethyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (& receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz
   amides as \delta receptor agonists for treatment of pain, anxiety, or
   gastrointestinal disorders)
692244-99-8 HCAPLUS
Benzamide, N,N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-
piperidinylidenemethyl] - (CA INDEX NAME)
```

$$\begin{array}{c|c} & H & O \\ & & C \\ \hline \\ Ph-CH_2-NH \end{array}$$

RN 692245-01-5 HCAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4piperidinylidenemethyl]- (CA INDEX NAME)

RN

CN

RN 692245-03-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[(3-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)

RN 692245-05-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C} \\ \text{Et}_2\text{N} - \text{C} \\ \\ \text{C} \\ \\ \text{NH} - \text{CH}_2 - \text{CH}_2 - \text{Ph} \\ \end{array}$$

RN 692245-07-1 HCAPLUS

CN Benzamide, 4-[[3-[[(4-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ N & \\ Et_2N-C & \\ C & NH-CH_2 \end{array}$$

RN 692245-11-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 692245-15-1 HCAPLUS

CN Benzamide, 4-[[3-[[(2-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 692245-19-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} CF_3 \\ \hline \\ Et_2N-C \\ \hline \\ C \\ \hline \\ C \\ \hline \\ NH-CH_2 \\ \hline \end{array}$$

## 10533838

RN 692245-23-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692245-27-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)

RN 692245-31-1 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 692245-35-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl]methyl]-(CA INDEX NAME)

RN 692245-51-5 HCAPLUS

CN Benzamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692245-55-9 HCAPLUS

CN Benzamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

RN 692245-59-3 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ & & \\ \text{Ph-} & \text{CH}_2-\text{C-} & \text{NH} \\ & & & \\ & & & \\ \end{array}$$

RN 692245-63-9 HCAPLUS

CN Benzamide, 4-[[3-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ \hline \\ Et_2N-C \\ \hline \\ C & NH-C \\ \hline \end{array}$$

RN 692245-67-3 HCAPLUS

CN Benzamide, 4-[[3-[(2-cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & H & \\ & N & \\ & & \\$$

RN 692245-71-9 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & & H \\ \hline \\ Et_2N - C & & O \\ \hline \\ C & & NH - C - CH_2 \\ \hline \end{array}$$

RN 692245-75-3 HCAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ N & O \\ C & NH-C-CH_2 \\ \end{array}$$

RN 692245-79-7 HCAPLUS

CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-5-methyl- (CA INDEX NAME)

RN 692245-84-4 HCAPLUS

CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692245-88-8 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692245-92-4 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692245-96-8 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-00-7 HCAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-04-1 HCAPLUS

CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ C \\ N - C - Ph \\ Me O \end{array}$$

## 10533838

RN 692246-08-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692246-12-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692246-16-5 HCAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ N \\ C \\ NH \\ C \\ NH \\ C \\ NH \end{array}$$

RN 692246-20-1 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H & H & N \\ \hline \\ Et_2N-C & O & O \\ \hline \\ NH-S-Ph \\ \hline \\ O & O \\ \end{array}$$

RN 692246-24-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & O \\ NH - S - CH_2 - Ph \\ O \\ O \end{array}$$

RN 692246-32-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ C \\ \end{array}$$

RN 692246-36-9 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692246-44-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(1S)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-48-3 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} H \\ N \\ \end{array}$$

RN 692246-52-9 HCAPLUS

CN Benzamide, 4-[[3-[[(1R)-1-cyclohexylethyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-56-3 HCAPLUS

CN Benzamide, 4-[[3-[[(1S)-1-cyclohexylethyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 692246-60-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & H \\ & & \\ &$$

RN 692246-64-3 HCAPLUS

CN Benzamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ H \\ N \\ C & Me \\ \end{array}$$

RN 692246-76-7 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

RN 692246-80-3 HCAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl- (CA INDEX NAME)

## 10533838

RN 692246-85-8 HCAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & NH-C & N\\ \hline N\\ N\\ H & H \end{array}$$

RN 692246-89-2 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(2-methoxybenzoyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C & H \\ N \\ C & NH - C \\ MeO \end{array}$$

RN 692246-93-8 HCAPLUS

CN 2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692246-97-2 HCAPLUS

CN Benzamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-difluoro- (CA INDEX NAME)

$$\begin{array}{c|c} C & H & F \\ \hline \\ Et_2N - C & NH - C & F \\ \hline \\ F & F \end{array}$$

RN 692247-01-1 HCAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)

RN 692247-05-5 HCAPLUS

CN Benzamide, N, N-diethyl-4-[[3-[(3-methylbenzoyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \mathsf{C} \\ \mathsf{NH}-\mathsf{C} \\ \mathsf{Me}$$

RN 692247-09-9 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 43.53 230.47 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -5.60-5.60

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L1 STRUCTURE UPLOADED
L2 17 S L1
L3 277 S L1 FULL
L4 0 S L3 AND BROWN, W?/AU

FILE 'HCAPLUS' ENTERED AT 17:33:17 ON 26 JUN 2008
L5 7 S L3

L6 7 S L5 AND BROWN, W?/AU

FILE 'CAOLD' ENTERED AT 17:34:18 ON 26 JUN 2008

=> s 13

L7 0 L3

=> file medline

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SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

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MEDLINE has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

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See HELP RANGE before carrying out any RANGE search.

=> s 13

L8 0 L3

=> s pain and piperidine and benzamide

311884 PAIN

5195 PAINS

314848 PAIN

(PAIN OR PAINS)

4653 PIPERIDINE

21786 PIPERIDINES

24371 PIPERIDINE

(PIPERIDINE OR PIPERIDINES)

2560 BENZAMIDE

6648 BENZAMIDES

7730 BENZAMIDE

(BENZAMIDE OR BENZAMIDES)

L9 28 PAIN AND PIPERIDINE AND BENZAMIDE

=> s 19 and review/dt

1362532 REVIEW/DT

L10 2 L9 AND REVIEW/DT

=> d 110, ibib abs hitstr, 1-2

'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MEDLINE'

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The default display format is BIB.

ABS ---- AB

ALL ---- AN, DN, TI, AU, CS, NC, SO, CM, CY, DT, LA, FS, NCT, OS,

EM, ED, AB, ST, CT, NA, RN, CN, GEN

BIB ---- AN, DN, TI, AU, CS, NC, SO, CY, DT, LA, FS, NCT, OS, EM, ED CBIB --- AN, DN, TI, AU, CS, NC, SO, CY, DT, LA, FS, NCT, OS, EM, ED

DALL --- ALL, delimited for post processing

IABS --- ABS, with a text label

IALL --- ALL, indented with text labels IBIB --- BIB, indented with text labels

IND ---- ST, CT, NA, RN, CN, GEN

TRIAL -- TI, ST, CT, NA, RN, CN, GEN

(SAM, TRI, FREE)

HIT ---- All fields containing hit terms

HITIND - IND

KWIC --- All hit terms plus 20 words on either side OCC ---- List of display fields containing hit terms

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ENTER DISPLAY FORMAT (BIB):end

=> d 110, ibib abs, 1-2

L10 ANSWER 1 OF 2 MEDLINE on STN ACCESSION NUMBER: 94023158 MEDLINE DOCUMENT NUMBER: PubMed ID: 8210494

TITLE: SR 48968, a neurokinin A (NK2) receptor antagonist.

AUTHOR: Emonds-Alt X; Advenier C; Croci T; Manara L; Neliat G;

Poncelet M; Proietto V; Santucci V; Soubrie P; Van Broeck

D; +

CORPORATE SOURCE: Sanofi Recherche, Montpellier, France.

SOURCE: Regulatory peptides, (1993 Jul 2) Vol. 46, No. 1-2, pp.

31-6. Ref: 16

Journal code: 8100479. ISSN: 0167-0115.

PUB. COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

General Review; (REVIEW)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199310

ENTRY DATE: Entered STN: 17 Jan 1994

Last Updated on STN: 6 Feb 1998 Entered Medline: 28 Oct 1993

L10 ANSWER 2 OF 2 MEDLINE on STN ACCESSION NUMBER: 93092843 MEDLINE

DOCUMENT NUMBER: PubMed ID: 1281070

TITLE: Diabetic gastroparesis. A critical reappraisal of new

treatment strategies.

AUTHOR: Drenth J P; Engels L G

CORPORATE SOURCE: Department of Medicine, University Hospital, Radboud,

Nijmegen, The Netherlands.

SOURCE: Drugs, (1992 Oct) Vol. 44, No. 4, pp. 537-53. Ref: 126

Journal code: 7600076. ISSN: 0012-6667.

PUB. COUNTRY: New Zealand DOCUMENT TYPE: (CLINICAL TRIAL)

(CLINICAL TRIAL, PHASE II)

Journal; Article; (JOURNAL ARTICLE)

General Review; (REVIEW)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199301

ENTRY DATE: Entered STN: 29 Jan 1993

Last Updated on STN: 29 Jan 1999

Entered Medline: 8 Jan 1993

AΒ Delayed gastric emptying, gastroparesis, is one of the sequelae of diabetes mellitus. Symptoms may include postprandial nausea, epigastric pain, bloating, vomiting, early satiety and unpredictable blood sugar fluctuations. Nowadays diagnosis is made by the measurement of gastric emptying with a radionuclide test meal. Using this technique some 50% of diabetic patients show signs of disordered gastric emptying. Relief is best delivered by agents promoting gastric emptying. II single-dose studies metoclopramide, domperidone, cisapride, erythromycin and renzapride were all able to enhance gastric evacuation of solid and liquid meals in patients with diabetic gastroparesis. A few short term studies support the efficacy of domperidone and renzapride, but long term trials are lacking. Erythromycin, mimicking the potent gastrokinetic effect of motilin, may hold considerable promise for the future. Experience with erythromycin in diabetic gastroparesis is nonetheless very limited. To some extent the therapeutic effectiveness of metoclopramide and cisapride has been established in placebo-controlled trials. In trials with a placebo-controlled crossover design, however, only metoclopramide showed a sustained positive effect. Metoclopramide, which combines gastrokinetic and antiemetic properties seems, so far, the best therapeutic option in diabetic gastroparesis. Cisapride may be considered as a good alternative in cases where limited efficacy or side effects preclude the use of metoclopramide.

```
=> s gastrointestinal () disorder? and piperid? and benzamide
```

191748 GASTROINTESTINAL

3 GASTROINTESTINALS

191751 GASTROINTESTINAL

(GASTROINTESTINAL OR GASTROINTESTINALS)

1002581 DISORDER?

2787 GASTROINTESTINAL (W) DISORDER?

27719 PIPERID?

2560 BENZAMIDE

6648 BENZAMIDES

7730 BENZAMIDE

(BENZAMIDE OR BENZAMIDES)

L11 5 GASTROINTESTINAL (W) DISORDER? AND PIPERID? AND BENZAMIDE

=> s l11 and review/dt 1362532 REVIEW/DT

L12 1 L11 AND REVIEW/DT

=> d 112, ibib abs, 1

L12 ANSWER 1 OF 1 MEDLINE on STN ACCESSION NUMBER: 96134443 MEDLINE DOCUMENT NUMBER: PubMed ID: 8527013

TITLE: A risk-benefit assessment of cisapride in the treatment of

gastrointestinal disorders.

AUTHOR: Tack J; Coremans G; Janssens J

CORPORATE SOURCE: Department of Internal Medicine, University Hospital

Gasthuisberg, University of Leuven, Belgium.

SOURCE: Drug safety: an international journal of medical

toxicology and drug experience, (1995 Jun) Vol. 12, No. 6,

pp. 384-92. Ref: 103

Journal code: 9002928. ISSN: 0114-5916.

PUB. COUNTRY: New Zealand

DOCUMENT TYPE: (COMPARATIVE STUDY)

Journal; Article; (JOURNAL ARTICLE)

General Review; (REVIEW)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199601

ENTRY DATE: Entered STN: 20 Feb 1996

Last Updated on STN: 29 Jan 1999 Entered Medline: 29 Jan 1996

AR Cisapride is a substituted benzamide compound that stimulates motor activity in all segments of the gastrointestinal tract by enhancing the release of acetylcholine from the enteric nervous system. Cisapride is administered orally in the treatment of gastro-oesophageal reflux disease, functional dyspepsia, gastroparesis, chronic intestinal pseudo-obstruction syndromes and chronic constipation. In gastro-oesophageal reflux disease in both adults and children, cisapride provides symptomatic improvement and mucosal healing. Long term treatment with cisapride is effective in the prevention of relapse of oesophagitis. Cisapride improves gastric emptying rates and improves symptoms in patients with gastroparesis of various origins. Unlike domperidone and metoclopramide, long term administration of cisapride seems to result in persistently enhanced gastric emptying. Cisapride is also effective in improving symptoms in patients with functional dyspepsia. In comparative studies in patients with functional dyspepsia, cisapride was at least as effective as metoclopramide, domperidone, clebopride, ranitidine and cimetidine. Cisapride increases stool frequency and reduces laxative consumption in patients with idiopathic constipation. Severe cases of slow transit constipation seem refractory to cisapride. Clinical studies also indicate that cisapride might be effective in the treatment of chronic intestinal pseudo-obstruction, postoperative ileus, peptic ulcer and irritable bowel syndrome. Further clinical studies are warranted to define the role of cisapride in these conditions. The dosage of cisapride ranges from 5mg 3 times daily to 20mg twice daily. Cisapride is generally well tolerated, both during short and long term treatment. In children, cisapride is also well tolerated in doses of 0.2 to 0.3 mg/kg, 3 to 4times daily. (ABSTRACT TRUNCATED AT 250 WORDS)

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=> s anxiety and piperid? and benzamide
         96773 ANXIETY
          1228 ANXIETIES
         97531 ANXIETY
                (ANXIETY OR ANXIETIES)
         27719 PIPERID?
          2560 BENZAMIDE
          6648 BENZAMIDES
          7730 BENZAMIDE
                (BENZAMIDE OR BENZAMIDES)
L13
            14 ANXIETY AND PIPERID? AND BENZAMIDE
=> s 113 and review/dt
       1362532 REVIEW/DT
L14
           0 L13 AND REVIEW/DT
=> s biosis
         395 BIOSIS
L15
=> d his
     (FILE 'HOME' ENTERED AT 17:28:11 ON 26 JUN 2008)
     FILE 'REGISTRY' ENTERED AT 17:28:41 ON 26 JUN 2008
L1
              STRUCTURE UPLOADED
             17 S L1
L2
L3
            277 S L1 FULL
             0 S L3 AND BROWN, W?/AU
L4
     FILE 'HCAPLUS' ENTERED AT 17:33:17 ON 26 JUN 2008
L5
              7 S L3
              7 S L5 AND BROWN, W?/AU
L6
     FILE 'CAOLD' ENTERED AT 17:34:18 ON 26 JUN 2008
L7
              0 S L3
     FILE 'MEDLINE' ENTERED AT 17:34:59 ON 26 JUN 2008
L8
             0 S L3
L9
             28 S PAIN AND PIPERIDINE AND BENZAMIDE
L10
             2 S L9 AND REVIEW/DT
L11
             5 S GASTROINTESTINAL () DISORDER? AND PIPERID? AND BENZAMIDE
L12
             1 S L11 AND REVIEW/DT
L13
            14 S ANXIETY AND PIPERID? AND BENZAMIDE
L14
             0 S L13 AND REVIEW/DT
L15
           395 S BIOSIS
=> s 13
           0 L3
L16
=> file biosis
COST IN U.S. DOLLARS
                                                 SINCE FILE
                                                               TOTAL
                                                      ENTRY SESSION
FULL ESTIMATED COST
                                                      13.64
                                                              244.57
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -5.60FILE 'BIOSIS' ENTERED AT 17:38:10 ON 26 JUN 2008 Copyright (c) 2008 The Thomson Corporation FILE COVERS 1926 TO DATE. CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1926 TO DATE. RECORDS LAST ADDED: 25 June 2008 (20080625/ED) BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing. => d his (FILE 'HOME' ENTERED AT 17:28:11 ON 26 JUN 2008) FILE 'REGISTRY' ENTERED AT 17:28:41 ON 26 JUN 2008 L1STRUCTURE UPLOADED 17 S L1 L2L3 277 S L1 FULL L40 S L3 AND BROWN, W?/AU FILE 'HCAPLUS' ENTERED AT 17:33:17 ON 26 JUN 2008 L5 7 S L3 7 S L5 AND BROWN, W?/AU L6 FILE 'CAOLD' ENTERED AT 17:34:18 ON 26 JUN 2008 L7 0 S L3 FILE 'MEDLINE' ENTERED AT 17:34:59 ON 26 JUN 2008 L8 0 S L3 L9 28 S PAIN AND PIPERIDINE AND BENZAMIDE L10 2 S L9 AND REVIEW/DT L11 5 S GASTROINTESTINAL () DISORDER? AND PIPERID? AND BENZAMIDE L12 1 S L11 AND REVIEW/DT L13 14 S ANXIETY AND PIPERID? AND BENZAMIDE L140 S L13 AND REVIEW/DT L15 395 S BIOSIS L16 0 S L3

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